

Attorney Docket No: 55325.8167.US04

Patent

Transmittal of Utility Patent Application for Filing

Certification Under 37 C.F.R. §1.10 (if applicable)

EL 889 537 523 US

January 25, 2002

"Express Mail" Label Number

Date of Deposit

I hereby certify that the enclosed application, and any other documents referred to as enclosed herein are being deposited in an envelope with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR §1.10 on the date indicated above and addressed to the Assistant Commissioner for Patents, Washington, D.C. 20231

Jennifer L. Mahoney

(Print Name of Person Mailing Application)

(Signature of Person Mailing Application)

CONJUGATE HAVING A CLEAVABLE LINKAGE FOR USE IN A LIPOSOME

5
10057839-012502
3/04
This application is a divisional of U.S. Application No. 09/556,610 filed April 21, 2000, ^{now US 6,365,179} which claims the benefit of U.S. Provisional Application No. 60/130,897 filed April 23, 1999, both of which are incorporated herein by reference in their entirety.

Field of the Invention

10
The present invention relates to a conjugate comprised of a hydrophobic moiety, a cleavable linkage, and a therapeutic agent. More particularly, the present invention relates to conjugates comprised of a lipid, a cleavable linkage and a drug incorporated into a liposomal formulation. The conjugates are
15
cleavable under mild thiololytic conditions *in vivo* for release of the drug in an unmodified state.

Background of the Invention

20
Liposomes are closed lipid vesicles used for a variety of therapeutic purposes, and in particular, for carrying therapeutic agents to a target region or cell by systemic administration of liposomes. Liposomes having a surface grafted with chains of water-soluble, biocompatible polymer, in particular polyethylene glycol, have become important drug
25
carriers. These liposomes offer an extended blood circulation lifetime over liposomes lacking the polymer coating. The grafted polymer chains shield or mask the liposome, thus